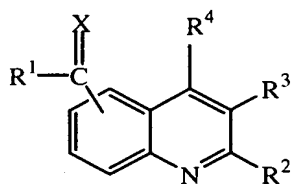


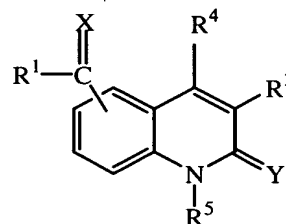
CLAIMS

1. A radiolabelled compound according to Formula (I-A)* or (I-B)*

5



(I-A)*



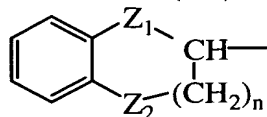
(I-B)*

an *N*-oxide form, a pharmaceutically acceptable addition salt, a quaternary amine and a stereochemically isomeric form thereof, wherein

X represents O; C(R⁶)₂ with R⁶ being hydrogen, aryl or C₁₋₆alkyl optionally substituted with amino or mono- or di(C₁₋₆alkyl)amino; S or N-R⁷ with R⁷ being amino or hydroxy;

R¹ represents C₁₋₆alkyl; aryl; thienyl; quinoliny; cycloC₃₋₁₂alkyl or (cycloC₃₋₁₂alkyl)C₁₋₆alkyl, wherein the cycloC₃₋₁₂alkyl moiety optionally may contain a double bond and wherein one carbon atom in the cycloC₃₋₁₂alkyl moiety may be replaced by an oxygen atom or an NR⁸-moiety with R⁸ being hydrogen, benzyl or C₁₋₆alkyloxycarbonyl ; wherein one or more hydrogen atoms in a C₁₋₆alkyl-moiety or in a cycloC₃₋₁₂alkyl-moiety optionally may be replaced by C₁₋₆alkyl, hydroxyC₁₋₆alkyl, haloC₁₋₆alkyl, aminoC₁₋₆alkyl, hydroxy, C₁₋₆alkyloxy, arylC₁₋₆alkyloxy, halo, C₁₋₆alkyloxycarbonyl, aryl, amino, mono- or di(C₁₋₆alkyl)amino, C₁₋₆alkyloxycarbonylamino, halo, piperazinyl, pyridinyl, morpholinyl, thienyl or a bivalent radical of formula -O-, -O-CH₂-O or -O-CH₂-CH₂-O-;

or a radical of formula (a-1)



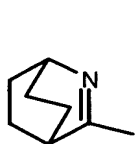
a-1

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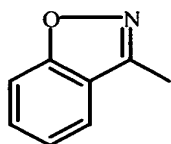
wherein Z₁ is a single covalent bond, O, NH or CH₂;
Z₂ is a single covalent bond, O, NH or CH₂;
n is an integer of 0, 1, 2 or 3;

and wherein each hydrogen atom in the phenyl ring independently may optionally be replaced by halo, hydroxy, C₁₋₆alkyl, C₁₋₆alkyloxy or hydroxyC₁₋₆alkyl;

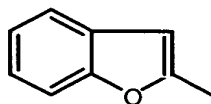
or X and R¹ may be taken together with the carbon atom to which X and R¹ are attached to form a radical of formula (b-1), (b-2) or (b-3);



b-1



b-2



b-3

R² represents hydrogen; halo; cyano; C₁₋₆alkyl; C₁₋₆alkyloxy; C₁₋₆alkylthio; C₁₋₆alkylcarbonyl; C₁₋₆alkyloxycarbonyl; C₁₋₆alkylcarbonyloxyC₁₋₆alkyl; C₂₋₆alkenyl; hydroxyC₂₋₆alkenyl; C₂₋₆alkynyl; hydroxyC₂₋₆alkynyl; tri(C₁₋₆alkyl)silaneC₂₋₆alkynyl; amino; mono- or di(C₁₋₆alkyl)amino; mono- or di(C₁₋₆alkyloxyC₁₋₆alkyl)amino; mono- or di(C₁₋₆alkylthioC₁₋₆alkyl)amino; aryl; arylC₁₋₆alkyl; arylC₂₋₆alkynyl; C₁₋₆alkyloxyC₁₋₆alkylaminoC₁₋₆alkyl; aminocarbonyl optionally substituted with C₁₋₆alkyl, C₁₋₆alkyloxyC₁₋₆alkyl, C₁₋₆alkyloxycarbonylC₁₋₆alkyl or pyridinylC₁₋₆alkyl;

a heterocycle selected from thienyl, furanyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, isothiazolyl, isoxazolyl, pyrazolyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, piperidinyl and piperazinyl, optionally N-substituted with C₁₋₆alkyloxyC₁₋₆alkyl, morpholinyl, thiomorpholinyl, dioxanyl or dithianyl ; a radical -NH-C(=O)R⁹ wherein R⁹ represents

C₁₋₆alkyl optionally substituted with cycloC₃₋₁₂alkyl, C₁₋₆alkyloxy, C₁₋₆alkyloxycarbonyl, aryl, aryloxy, thienyl, pyridinyl, mono- or di(C₁₋₆alkyl)amino, C₁₋₆alkylthio, benzylthio, pyridinylthio or pyrimidinylthio;

cycloC₃₋₁₂alkyl; cyclohexenyl; amino; arylcycloC₃₋₁₂alkylamino; mono-or-di(C₁₋₆alkyl)amino; mono- or

di(C₁₋₆alkyloxycarbonylC₁₋₆alkyl)amino; mono- or

di(C₁₋₆alkyloxycarbonyl)amino; mono-or di(C₂₋₆alkenyl)amino; mono- or

di(arylC₁₋₆alkyl)amino; mono- or diarylamino; arylC₂₋₆alkenyl;

furanylC₂₋₆alkenyl; piperidinyl; piperazinyl; indolyl; furyl; benzofuryl;

tetrahydrofuryl; indenyl; adamantyl; pyridinyl; pyrazinyl; aryl;

arylC₁₋₆alkylthio or a radical of formula (a-1) ;

a sulfonamid -NH-SO₂-R¹⁰ wherein R¹⁰ represents C₁₋₆alkyl, mono- or poly haloC₁₋₆alkyl, arylC₁₋₆alkyl, arylC₂₋₆alkenyl, aryl, quinolinyl, isoxazolyl or di(C₁₋₆alkyl)amino;

5 R³ and R⁴ each independently represent hydrogen; halo; hydroxy; cyano; C₁₋₆alkyl; C₁₋₆alkyloxy; C₁₋₆alkyloxyC₁₋₆alkyl; C₁₋₆alkylcarbonyl; C₁₋₆alkyloxycarbonyl; C₂₋₆alkenyl; hydroxyC₂₋₆alkenyl; C₂₋₆alkynyl; hydroxyC₂₋₆alkynyl; tri(C₁₋₆alkyl)silaneC₂₋₆alkynyl; amino; mono- or di(C₁₋₆alkyl)amino; mono- or di(C₁₋₆alkyloxyC₁₋₆alkyl)amino; mono- or di(C₁₋₆alkylthioC₁₋₆alkyl)amino; aryl; morpholinylC₁₋₆alkyl or piperidinylC₁₋₆alkyl ; or

10 R² and R³ may be taken together to form -R²-R³-, which represents a bivalent radical of formula -(CH₂)₃-, -(CH₂)₄-, -(CH₂)₅-, -(CH₂)₆-, -CH=CH-CH=CH-, -Z₄-CH=CH-, -CH=CH-Z₄-, -Z₄-CH₂-CH₂-CH₂-, -CH₂-Z₄-CH₂-CH₂-, -CH₂-CH₂-Z₄-CH₂-,
15 -CH₂-CH₂-CH₂-Z₄-, -Z₄-CH₂-CH₂-, -CH₂-Z₄-CH₂- or -CH₂-CH₂-Z₄-, with Z₄ being O, S, SO₂ or NR¹¹ wherein R¹¹ is hydrogen, C₁₋₆alkyl, benzyl or C₁₋₆alkyloxycarbonyl; and wherein each bivalent radical is optionally substituted with C₁₋₆alkyl.

or R³ and R⁴ may be taken together to form a bivalent radical of formula
20 -CH=CH-CH=CH- or -CH₂-CH₂-CH₂-CH₂- ;

R⁵ represents hydrogen; cycloC₃₋₁₂alkyl; piperidinyl; oxo-thienyl; tetrahydrothienyl, arylC₁₋₆alkyl; C₁₋₆alkyloxyC₁₋₆alkyl; C₁₋₆alkyloxycarbonylC₁₋₆alkyl or C₁₋₆alkyl optionally substituted with a radical C(=O)NR_xR_y, in which R_x and R_y, each independently are hydrogen, cycloC₃₋₁₂alkyl, C₂₋₆alkynyl or C₁₋₆alkyl optionally
25 substituted with cyano, C₁₋₆alkyloxy, C₁₋₆alkyloxycarbonyl, furanyl, pyrrolidinyl, benzylthio, pyridinyl, pyrrolyl or thienyl;

Y represents O or S;

or Y and R⁵ may be taken together to form =Y-R⁵- which represents a radical of formula

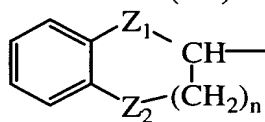
30 -CH=N-N= (c-1);
-N=N-N= (c-2); or
-N-CH=CH- (c-3);

aryl represents phenyl or naphthyl optionally substituted with one or more substituents selected from halo, hydroxy, C₁₋₆alkyl, C₁₋₆alkyloxy, phenyloxy, nitro, amino, thio,
35 C₁₋₆alkylthio, haloC₁₋₆alkyl, polyhaloC₁₋₆alkyl, polyhaloC₁₋₆alkyloxy, hydroxyC₁₋₆alkyl, C₁₋₆alkyloxyC₁₋₆alkyl, aminoC₁₋₆alkyl, mono- or di(C₁₋₆alkyl)amino; mono- or di(C₁₋₆alkyl)aminoC₁₋₆alkyl, cyano, -CO-R¹², -CO-OR¹³,

-NR¹³SO₂R¹², -SO₂-NR¹³R¹⁴, -NR¹³C(O)R¹², -C(O)NR¹³R¹⁴, -SOR¹², -SO₂R¹²;
 wherein each R¹², R¹³ and R¹⁴ independently represent C₁₋₆alkyl; cycloC₃₋₆alkyl;
 phenyl; phenyl substituted with halo, hydroxy, C₁₋₆alkyl, C₁₋₆alkyloxy,
 haloC₁₋₆alkyl, polyhaloC₁₋₆alkyl, furanyl, thienyl, pyrrolyl, imidazolyl, thiazolyl or
 5 oxazolyl;

and when the R¹-C(=X) moiety is linked to another position than the 7 or 8 position,
 then said 7 and 8 position may be substituted with R¹⁵ and R¹⁶ wherein either one or
 both of R¹⁵ and R¹⁶ represents C₁₋₆alkyl, C₁₋₆alkyloxy or R¹⁵ and R¹⁶ taken together may
 10 form a bivalent radical of formula -CH=CH-CH=CH-.

2. A radiolabelled compound according to claim 1, characterized in that,
 X represents O; C(R⁶)₂ with R⁶ being hydrogen or aryl ; or N-R⁷ with R⁷ being amino
 or hydroxy;
 15 R¹ represents C₁₋₆alkyl, aryl; thienyl; quinolinyl; cycloC₃₋₁₂alkyl or
 (cycloC₃₋₁₂alkyl)C₁₋₆alkyl, wherein the cycloC₃₋₁₂alkyl moiety optionally may
 contain a double bond and wherein one carbon atom in the cycloC₃₋₁₂alkyl moiety
 may be replaced by an oxygen atom or an NR⁸-moiety with R⁸ being benzyl or
 C₁₋₆alkyloxycarbonyl ; wherein one or more hydrogen atoms in a C₁₋₆alkyl-moiety
 20 or in a cycloC₃₋₁₂alkyl-moiety optionally may be replaced by C₁₋₆alkyl,
 haloC₁₋₆alkyl, hydroxy, C₁₋₆alkyloxy, arylC₁₋₆alkyloxy, halo, aryl, mono- or
 di(C₁₋₆alkyl)amino, C₁₋₆alkyloxycarbonylamino, halo, piperazinyl, pyridinyl,
 morpholinyl, thienyl or a bivalent radical of formula -O- or -O-CH₂-CH₂-O-;
 or a radical of formula (a-1)



25 a-1

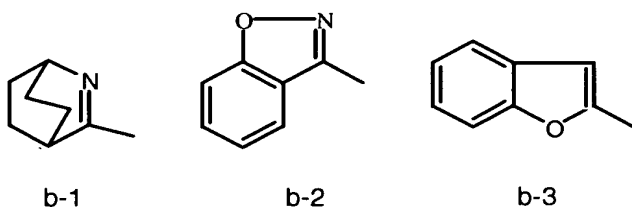
wherein Z₁ is a single covalent bond, O or CH₂;

Z₂ is a single covalent bond, O or CH₂;

n is an integer of 0, 1, or 2 ;

30 and wherein each hydrogen atom in the phenyl ring independently
 may optionally be replaced by halo or hydroxy;

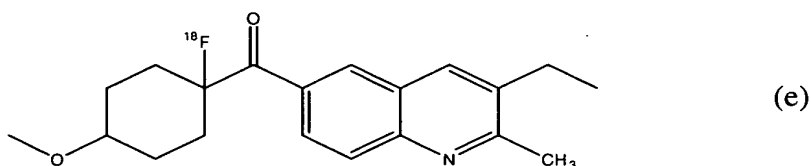
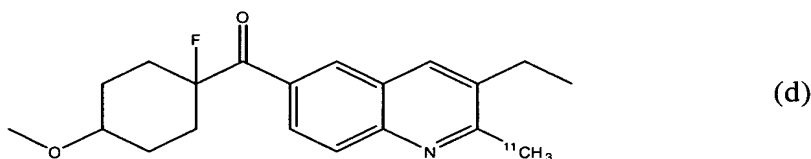
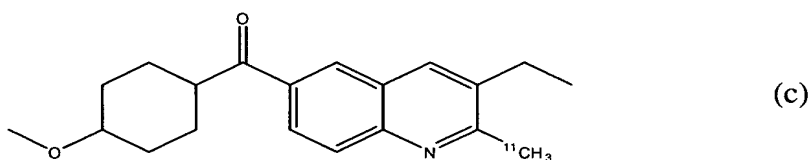
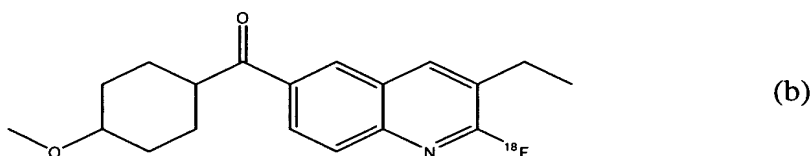
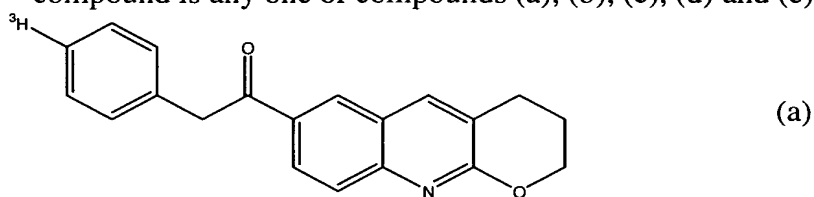
or X and R¹ may be taken together with the carbon atom to which X and R¹ are
 attached to form a radical of formula (b-1), (b-2) or (b-3);



- R^2 represents hydrogen; halo; cyano; C_{1-6} alkyl; C_{1-6} alkyloxy; C_{1-6} alkylthio; C_{1-6} alkylcarbonyl; C_{1-6} alkyloxycarbonyl; C_{2-6} alkenyl; hydroxy C_{2-6} alkenyl; C_{2-6} alkynyl; hydroxy C_{2-6} alkynyl; tri(C_{1-6} alkyl)silane C_{2-6} alkynyl; amino; mono- or di(C_{1-6} alkyl)amino; mono- or di(C_{1-6} alkyloxy C_{1-6} alkyl)amino; mono- or di(C_{1-6} alkylthio C_{1-6} alkyl)amino; aryl; aryl C_{1-6} alkyl; aryl C_{2-6} alkynyl; C_{1-6} alkyloxy C_{1-6} alkylamino C_{1-6} alkyl; aminocarbonyl optionally substituted with C_{1-6} alkyloxycarbonyl C_{1-6} alkyl ; a heterocycle selected from thienyl, furanyl, thiazolyl and piperidinyl, optionally N-substituted with morpholinyl or thiomorpholinyl; a radical $-NH-C(=O)R^9$ wherein R^9 represents C_{1-6} alkyl optionally substituted with cyclo C_{3-12} alkyl, C_{1-6} alkyloxy, C_{1-6} alkyloxycarbonyl, aryl, aryloxy, thienyl, pyridinyl, mono- or di(C_{1-6} alkyl)amino, C_{1-6} alkylthio, benzylthio, pyridinylthio or pyrimidinylthio; cyclo C_{3-12} alkyl; cyclohexenyl; amino; arylcyclo C_{3-12} alkylamino; mono-or-di(C_{1-6} alkyl)amino; mono- or di(C_{1-6} alkyloxycarbonyl C_{1-6} alkyl)amino; mono- or di(C_{1-6} alkyloxycarbonyl)amino; mono-or di(C_{2-6} alkenyl)amino; mono- or di(aryl C_{1-6} alkyl)amino; mono- or diarylamino; aryl C_{2-6} alkenyl; furanyl C_{2-6} alkenyl; piperididiny; piperazinyl; indolyl; furyl; benzofuryl; tetrahydrofuryl; indenyl; adamantyl; pyridinyl; pyrazinyl; aryl or a radical of formula (a-1) ; a sulfonamid $-NH-SO_2-R^{10}$ wherein R^{10} represents C_{1-6} alkyl, mono- or poly halo C_{1-6} alkyl, aryl C_{1-6} alkyl or aryl;
- R^3 and R^4 each independently represent hydrogen; C_{1-6} alkyl; C_{1-6} alkyloxy C_{1-6} alkyl; C_{1-6} alkyloxycarbonyl; or
- R^2 and R^3 may be taken together to form $-R^2-R^3-$, which represents a bivalent radical of formula $-(CH_2)_4-$, $-(CH_2)_5-$, $-Z_4-CH=CH-$, $-Z_4-CH_2-CH_2-CH_2-$ or $-Z_4-CH_2-CH_2-$, with Z_4 being O, S, SO_2 or NR^{11} wherein R^{11} is hydrogen, C_{1-6} alkyl, benzyl or C_{1-6} alkyloxycarbonyl; and wherein each bivalent radical is optionally substituted with C_{1-6} alkyl;
- or R^3 and R^4 may be taken together to form a bivalent radical of formula $-CH=CH-CH=CH-$ or $-CH_2-CH_2-CH_2-CH_2-$;
- R^5 represents hydrogen; piperidinyl; oxo-thienyl; tetrahydrothienyl, aryl C_{1-6} alkyl; C_{1-6} alkyloxycarbonyl C_{1-6} alkyl or C_{1-6} alkyl optionally substituted with a radical

- $C(=O)NR_xR_y$, in which R_x and R_y , each independently are hydrogen, cycloC₃₋₁₂alkyl, C₂₋₆alkynyl or C₁₋₆alkyl optionally substituted with cyano, C₁₋₆alkyloxy or C₁₋₆alkyloxycarbonyl;
- Y represents O or S;
- 5 or Y and R⁵ may be taken together to form =Y-R⁵- which represents a radical of formula
- CH=N-N= (c-1); or
- N=N-N= (c-2);
- aryl represents phenyl or naphthyl optionally substituted with one or more substituents
- 10 selected from halo, C₁₋₆alkyloxy, phenyloxy, mono-or di(C₁₋₆alkyl)amino and cyano;
- and when the R¹-C(=X) moiety is linked to another position than the 7 or 8 position, then said 7 and 8 position may be substituted with R¹⁵ and R¹⁶ wherein either one or both of R¹⁵ and R¹⁶ represents C₁₋₆alkyl or R¹⁵ and R¹⁶ taken together may form a
- 15 bivalent radical of formula -CH=CH-CH=CH-.
3. A radiolabelled compound according to any one of claims 1 - 2, characterized in that,
- X represents O;
- 20 R¹ represents C₁₋₆alkyl; cycloC₃₋₁₂alkyl or (cycloC₃₋₁₂alkyl)C₁₋₆alkyl, wherein one or more hydrogen atoms in a C₁₋₆alkyl-moiety or in a cycloC₃₋₁₂alkyl-moiety optionally may be replaced by C₁₋₆alkyloxy, aryl, halo or thienyl;
- R² represents hydrogen; halo; C₁₋₆alkyl or amino;
- R³ and R⁴ each independently represent hydrogen or C₁₋₆alkyl; or
- 25 R² and R³ may be taken together to form -R²-R³-, which represents a bivalent radical of formula -Z₄-CH₂-CH₂-CH₂- or -Z₄-CH₂-CH₂- with Z₄ being O or NR¹¹ wherein R¹¹ is C₁₋₆alkyl; and wherein each bivalent radical is optionally substituted with C₁₋₆alkyl;
- or R³ and R⁴ may be taken together to form a bivalent radical of formula
- 30 -CH₂-CH₂-CH₂-CH₂- ;
- R⁵ represents hydrogen;
- Y represents O; and
- aryl represents phenyl optionally substituted with halo.
- 35 4. A radiolabelled compound according to any one of claims 1-3, characterized in that the R¹-C(=X) moiety is linked to the quinoline or quinolinone moiety in position 6.

5. A radiolabelled compound according to any one of claims 1 - 4, characterized in that the compound contains at least one radioactive atom.
6. A radiolabelled compound according to claim 5, characterized in that the radioactive isotope is selected from the group of ^3H , ^{11}C and ^{18}F .
7. A radiolabelled compound according to claim 6, characterized in that the compound is any one of compounds (a), (b), (c), (d) and (e) :



8. A radiolabelled compound according to claim 6, characterized in that the compound is compound (a).
9. Radioactive composition for the administration to mammals comprising a therapeutically effective amount of a radiolabelled compound according to any of claims 1-8 and a pharmaceutically acceptable carrier or diluent.

10. A radiolabelled compound according to any one of claims 1-8 or a composition according to claim 9 for use in a diagnostic method.
- 5 11. A radiolabelled compound according to any one of claims 1-8 or a composition according to claim 9, characterized in that the diagnostic method consists of marking or identifying a mGlu1 receptor in biological material.
- 10 12. A radiolabelled compound according to any one of claims 1-8 or a composition according to claim 9, characterized in that the marking consists of administering the radiolabelled compound to biological material and the identifying consists of detecting the emissions from the radiolabelled compound.
- 15 13. A radiolabelled compound according to any one of claims 1-8 or a composition according to claim 9, characterized in that the diagnostic method consists of screening whether a test compound has the ability to occupy or bind to a mGlu1 receptor in biological material.
- 20 14. A radiolabelled compound or composition according to any one of claims 11 - 13, characterized in that the biological material is selected from the group of tissue samples, plasma fluids, body fluids, body parts and organs originating from warm-blooded animals and warm-blooded animals *per se*, in particular humans.
- 25 15. A radiolabelled compound according to any one of claims 1-8 or a composition according to claim 9 for the manufacture of a diagnostic tool for marking or identifying an mGlu1 receptor in biological material.
- 30 16. Use of a radiolabelled compound or composition according to claim 15, characterized in that the marking consists of administering the radiolabelled compound to biological material and the identifying consists of detecting the emissions from the radiolabelled compound.
- 35 17. A radiolabelled compound according to any one of claims 1-8 or a composition according to claim 9 for the manufacture of a diagnostic tool for screening whether a test compound has the ability to occupy or bind to a mGlu1 receptor in biological material

18. A radiolabelled compound according to any one of claims 1-8 or a composition according to claim 9 for the manufacture of a diagnostic tool for imaging an organ, characterized by administering a sufficient amount of a radiolabelled compound according to any one of claims 1-8 or a composition according to claim 9 in an appropriate composition to biological material, whereby said radiolabelled compound binds to a mGlu1 receptor sites in the biological material ; and detecting the emissions from the radiolabelled compound.
19. Use of a radiolabelled compound or composition according to claim 18 for the manufacture of a diagnostic tool for imaging an organ, characterized in that the imaging is performed using Positron Emission Tomography (PET).
20. Use of a radiolabelled compound or composition according to any one of claims 15 to 19, characterized in that the biological material is selected from the group of tissue samples, plasma fluids, body fluids, body parts and organs originating from warm-blooded animals and warm-blooded animals *per se*, in particular humans.